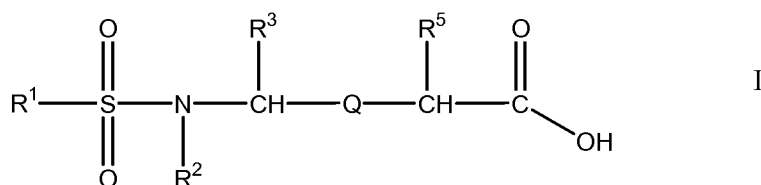


**Amendments to the Claims:**

The listing of claims will replace all prior versions, and listings, of claims in the application:

**Listing of Claims:**

1. (Currently Amended) A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula I below:



wherein

R<sup>1</sup> is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R<sup>2</sup> is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R<sup>1</sup> and R<sup>2</sup> together with the nitrogen atom bound to R<sup>2</sup> and the SO<sub>2</sub> group bound to R<sup>1</sup> can form a heterocyclic or a substituted heterocyclic group;

R<sup>3</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R<sup>2</sup> does not form a heterocyclic group with R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom bound to R<sup>2</sup> and the carbon atom bound to R<sup>3</sup> can form a heterocyclic or a substituted heterocyclic group;

R<sup>5</sup> is -(CH<sub>2</sub>)<sub>x</sub>-Ar-R<sup>5'</sup> where R<sup>5'</sup> is selected from the group consisting of -O-Z-NR<sup>8</sup>R<sup>8'</sup> and -O-Z-R<sup>8''</sup> wherein R<sup>8</sup> and R<sup>8'</sup> are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, and substituted heterocyclic, or R<sup>8</sup> and R<sup>8'</sup> are joined to form a heterocycle or a substituted

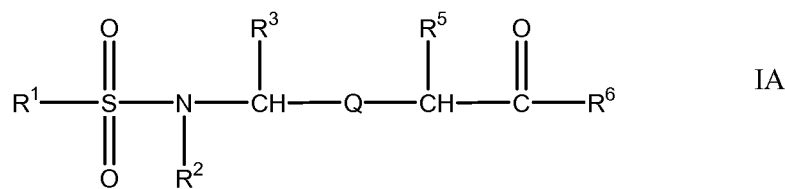
heterocycle,  $R^{8''}$  is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of  $-C(O)-$  and  $-SO_2-$ ;

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

x is an integer of from 1 to 4; and

Q is  $-C(X)NR^7-$  wherein  $R^7$  is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;  
and pharmaceutically acceptable salts thereof.

2. (Currently Amended) A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IA below:



wherein:

$R^1$  is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

$R^2$  is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and  $R^1$  and  $R^2$  together with the nitrogen atom bound to  $R^2$  and the  $SO_2$  group bound to  $R^1$  can form a heterocyclic or a substituted heterocyclic group;

$R^3$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when  $R^2$  does not form a heterocyclic group with  $R^1$ ,  $R^2$  and  $R^3$  together with the nitrogen atom bound to  $R^2$  and the carbon atom bound to  $R^3$  can form a heterocyclic or a substituted heterocyclic group;

$R^5$  is  $-(CH_2)_x - Ar - R^{5'}$  where  $R^{5'}$  is selected from the group consisting of  $-O-Z-NR^8R^{8'}$  and  $-O-Z-R^{8''}$  wherein  $R^8$  and  $R^{8'}$  are independently selected from the group consisting of

hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, and substituted heterocyclic, or  $R^8$  and  $R^{8'}$  are joined to form a heterocycle or a substituted heterocycle,  $R^{8''}$  is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO<sub>2</sub>-;

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

$x$  is an integer of from 1 to 4;

$R^6$  is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3- $\beta$ -yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, -NH(CH<sub>2</sub>)<sub>p</sub>COOY where  $p$  is an integer of from 1 to 8 and Y is as defined above, -OCH<sub>2</sub>NR<sup>9</sup>R<sup>10</sup> where R<sup>9</sup> is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R<sup>10</sup> is selected from the group consisting of hydrogen and -CH<sub>2</sub>COOR<sup>11</sup> where R<sup>11</sup> is alkyl, and -NHSO<sub>2</sub>Z' where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic; and

Q is -C(X)NR<sup>7</sup>- wherein R<sup>7</sup> is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof

with the following provisos

(A) when R<sup>1</sup> and R<sup>2</sup> together with the SO<sub>2</sub> group pendent to R<sup>1</sup> and the nitrogen pendent to R<sup>2</sup> form a saccharin-2-yl group, R<sup>3</sup> is -CH<sub>3</sub>, R<sup>5</sup> is  $p$ -[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl and Q is -C(O)NH- then R<sup>6</sup> is not -OC(CH<sub>3</sub>)<sub>3</sub>;

(B) when R<sup>1</sup> is  $p$ -methylphenyl, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom pendent to R<sup>2</sup> and the carbon atom pendent to R<sup>3</sup> form a ~~pyrrolidinyl~~ pyrrolidinyl ring derived from D-proline; R<sup>5</sup> is  $p$ -[(4-methylpiperazin-1-yl)NC(O)O-]benzyl derived from D-phenylalanine and Q is -C(O)NH- then R<sup>6</sup> is not -OC(CH<sub>3</sub>)<sub>3</sub>;

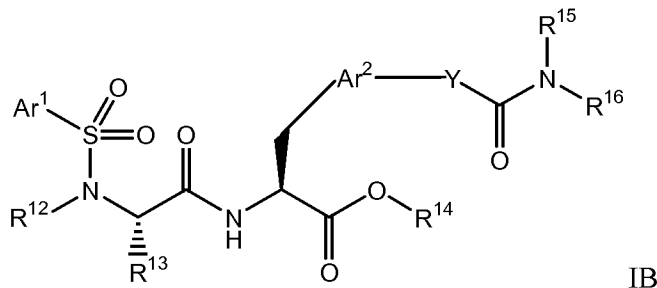
(C) when R<sup>1</sup> is pyrimidin-2-yl, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom bound to R<sup>2</sup> and the carbon atom bound to R<sup>3</sup> form a pyrrolidinyl ring, R<sup>5</sup> is  $p$ -[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl and Q is -C(O)NH- then R<sup>6</sup> is not -OC(CH<sub>3</sub>)<sub>3</sub>; and

(D) when R<sup>1</sup> is *p*-methylphenyl, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom pendent to R<sup>2</sup> and the carbon atom pendent to R<sup>3</sup> form a (2S)-piperazin-2-carbonyl ring; R<sup>5</sup> is *p*-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl and Q is -C(O)NH- then R<sup>6</sup> is not -OC(CH<sub>3</sub>)<sub>3</sub>.

3. (Cancelled)

4. (Cancelled)

5. (Original) A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IB below:



wherein:

Ar<sup>1</sup> is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

Ar<sup>2</sup> is selected from the group consisting of aryl, substituted aryl, heteroaryl and substituted heteroaryl;

R<sup>12</sup> is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R<sup>12</sup> and R<sup>13</sup> together with the nitrogen atom bound to R<sup>12</sup> and the carbon atom bound to R<sup>13</sup> form a heterocyclic or substituted heterocyclic group;

R<sup>13</sup> is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R<sup>12</sup> and R<sup>13</sup> together with the nitrogen atom bound to R<sup>12</sup> and the carbon atom bound to R<sup>13</sup> form a heterocyclic or substituted heterocyclic group;

R<sup>14</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl;

R<sup>15</sup> is selected from the group consisting of alkyl, and substituted alkyl, or R<sup>15</sup> and R<sup>16</sup> together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group;

R<sup>16</sup> is selected from the group consisting of alkyl and substituted alkyl or R<sup>15</sup> and R<sup>16</sup> together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group; and

Y is selected from the group consisting of -O-, -NR<sup>100</sup>-, and -CH<sub>2</sub>- wherein R<sup>100</sup> is hydrogen or alkyl;

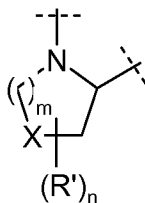
and pharmaceutically acceptable salts thereof.

6. (Original) The method according to claim 5, wherein R<sup>12</sup> is alkyl, substituted alkyl, or R<sup>12</sup> and R<sup>13</sup> together with the nitrogen atom bound to R<sup>12</sup> and the carbon atom bound to R<sup>13</sup> form a heterocyclic or substituted heterocyclic group; and R<sup>14</sup> is hydrogen or alkyl.

7. (Original) The method according to claim 5, wherein Ar<sup>1</sup> is selected from the group consisting of phenyl, 4-methylphenyl, 4-*t*-butylphenyl, 2,4,6-trimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-bromophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, 4-*t*-butoxyphenyl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, 2-carboxyphenyl, 2-(methoxycarbonyl)phenyl, 4-(H<sub>2</sub>NC(O)-)phenyl, 4-(H<sub>2</sub>NC(S)-)phenyl, 4-cyanophenyl, 4-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 3,5-di-(trifluoromethyl)phenyl, 4-nitrophenyl, 4-aminophenyl, 4-(CH<sub>3</sub>C(O)NH-)phenyl, 4-(PhNHC(O)NH-)phenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[CH<sub>3</sub>SC(=NH)-]phenyl, 4-chloro-3-[H<sub>2</sub>NS(O)<sub>2</sub>-]phenyl, 1-naphthyl, 2-naphthyl, pyridin-2-yl, pyridin-3-yl, pyridine-4-yl, pyrimidin-2-yl, quinolin-8-yl, 2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl, 2-thienyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-*N*-methylimidazol-4-yl, 1-*N*-methylpyrazol-3-yl,

1-*N*-methylpyrazol-4-yl, 1-*N*-butylpyrazol-4-yl, 1-*N*-methyl-3-methyl-5-chloropyrazol-4-yl, 1-*N*-methyl-5-methyl-3-chloropyrazol-4-yl, 2-thiazolyl and 5-methyl-1,3,4-thiadiazol-2-yl.

8. (Original) The method according to claim 5, wherein  $R^{12}$  and  $R^{13}$  together with the nitrogen atom bound to  $R^{12}$  and the carbon atom bound to  $R^{13}$  form a heterocyclic or substituted heterocyclic of the formula:



wherein

X is selected from the group consisting of -S-, -SO-, -SO<sub>2</sub>, and optionally substituted -CH<sub>2</sub>-;

*m* is an integer of 0 to 12;

*n* is an integer of 0 to 2; and

*R'* is selected from the group consisting of alkyl, substituted alkyl, and amino.

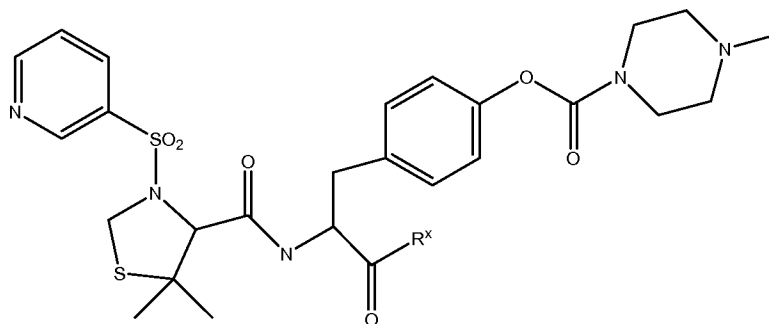
9. (Original) The method according to claim 8, wherein *m* is 1, X is -S- or -CH<sub>2</sub>-, *R'* is alkyl or substituted alkyl.

10. (Currently Amended) The method according to claim [[8]] 5, wherein  $R^{12}$  and  $R^{13}$  together with the nitrogen atom bound to  $R^{12}$  and the carbon atom bound to  $R^{13}$  form a heterocyclic or substituted heterocyclic selected from the group consisting of azetidiny, thiazolidiny, piperidiny, piperaziny, thiomorpholinyl, pyrrolidiny, 4-hydroxypyrrolidiny, 4-oxopyrrolidiny, 4-fluoropyrrolidiny, 4,4-difluoropyrrolidiny, 4-(thiomorpholin-4-ylC(O)O-)pyrrolidiny, 4-[CH<sub>3</sub>S(O)<sub>2</sub>O-]pyrrolidiny, 3-phenylpyrrolidiny, 3-thiophenylpyrrolidiny, 4-aminopyrrolidiny, 3-methoxypyrrolidiny, 4,4-dimethylpyrrolidiny, 4-*N*-Cbz-piperaziny, 4-[CH<sub>3</sub>S(O)<sub>2</sub>-]piperaziny, thiazolidin-3-yl, 5,5-dimethyl-thiazolidin-3-yl, 5,5-dimethylthiazolidin-4-yl, 1,1-dioxo-thiazolidiny, 1,1-dioxo-5,5-dimethylthiazolidin-2-yl and 1,1-dioxothiomorpholinyl.

11. (Original) The method according to claim 5, wherein Ar<sup>2</sup> is selected from the group consisting of phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, and 4-pyrid-2-onyl.

12. (Original) The method according to claim 5, wherein Y is -O-, and when Y is -O-, the moiety -OC(O)NR<sup>15</sup>R<sup>16</sup> is selected from the group consisting of (CH<sub>3</sub>)<sub>2</sub>NC(O)O-, (piperidin-1-yl)C(O)O-, (4-hydroxypiperidin-1-yl)C(O)O-, (4-formyloxypiperidin-1-yl)C(O)O-, (4-ethoxycarbonylpiperidin-1-yl)C(O)O-, (4-carboxypiperidin-1-yl)C(O)O-, (3-hydroxymethylpiperidin-1-yl)C(O)O-, (4-hydroxymethylpiperidin-1-yl)C(O)O-, (4-piperidon-1-yl ethylene ketal)C(O)O-, (piperazin-1-yl)-C(O)O-, (1-Boc-piperazin-4-yl)-C(O)O-, (4-methylpiperazin-1-yl)C(O)O-, (4-methylhomopiperazin-1-yl)C(O)O-, (4-(2-hydroxyethyl)piperazin-1-yl)C(O)O-, (4-phenylpiperazin-1-yl)C(O)O-, (4-(pyridin-2-yl)piperazin-1-yl)C(O)O-, (4-(4-trifluoromethylpyridin-2-yl)piperazin-1-yl)C(O)O-, (4-(pyrimidin-2-yl)piperazin-1-yl)C(O)O-, (4-acetylpiperazin-1-yl)C(O)O-, (4-(phenylC(O)-)piperazin-1-yl)C(O)O-, (4-(pyridin-4'-ylC(O)-)piperazin-1-yl)C(O)O-, (4-(phenylNHC(O)-)piperazin-1-yl)C(O)O-, (4-(phenylNHC(S)-)piperazin-1-yl)C(O)O-, (4-methanesulfonylpiperazin-1-yl)-C(O)O-, (4-trifluoromethanesulfonylpiperazin-1-yl)-C(O)O-, (morpholin-4-yl)C(O)O-, (thiomorpholin-4-yl)C(O)O-, (thiomorpholin-4'-yl sulfone)-C(O)O-, (pyrrolidin-1-yl)C(O)O-, (2-methylpyrrolidin-1-yl)C(O)O-, (2-(methoxycarbonyl)pyrrolidin-1-yl)C(O)O-, (2-(hydroxymethyl)pyrrolidin-1-yl)C(O)O-, (2-(N,N-dimethylamino)ethyl)(CH<sub>3</sub>)NC(O)O-, (2-(N-methyl-N-toluene-4-sulfonylamino)ethyl)(CH<sub>3</sub>)N-C(O)O-, (2-(morpholin-4-yl)ethyl)(CH<sub>3</sub>)NC(O)O-, (2-(hydroxy)ethyl)(CH<sub>3</sub>)NC(O)O-, bis(2-(hydroxy)ethyl)NC(O)O-, (2-(formyloxy)ethyl)(CH<sub>3</sub>)NC(O)O-, (CH<sub>3</sub>OC(O)CH<sub>2</sub>)HNC(O)O-, and 2-[(phenylNHC(O)O-)ethyl]-HNC(O)O-.

13. (Original) A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IC below:



IC

wherein

R<sup>x</sup> is hydroxy or C<sub>1-5</sub> alkoxy; and  
pharmaceutically acceptable salts thereof.

14-21. (Cancelled)

22. (Currently Amended) A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is selected from the group consisting of:

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *n*-butyl ester<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine cyclopentyl ester<sub>1</sub>



*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-butyl ester<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopentyl ester<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyloxy)phenylalanine ethyl ester<sub>1</sub>

*N*-( $\alpha$ -toluenesulfonyl)-L-prolyl-L-4-(*N*-methylisonipecotoyloxy)phenylalanine ethyl ester<sub>1</sub>

*N*-( $\alpha$ -toluenesulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-3-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butylcarbonyloxy-4-phenylpiperidin-4-ylcarbonyloxy)phenylalanine ethyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)sarcosyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-( $\alpha$ -toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-( $\alpha$ -toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(4-benzyloxycarbonylpiperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)sarcosyl-L-4-(isonipecotoxyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine,<sub>2</sub>

*N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)sarcosyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>2</sub>

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>2</sub>

*N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>2</sub>

*N*-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>2</sub>

*N*-(toluene-4-sulfonyl)-D-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-*L*-*N*-methylalanyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-nitrobenzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)sarcosyl-*L*-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-*L*-*N*-methylalanyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(isonipecotoxyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(morpholin-4-ylcarbonyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine neopentyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine neopentyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine ethyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)sarcosyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)sarcosyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)sarcosyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-acetylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)-3-nitrophenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-*N*-methyl-2-(*tert*-butyl)glycinyll-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>



*N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-*tert*-butoxycarbonyl-2-(*N,N*-dimethylcarbamyloxy)phenylethyl]azetidin-2-one,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-carboxy-2-(*N,N*-dimethylcarbamyloxy)phenylethyl]azetidin-2-one,<sub>1</sub>

*N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoxyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *iso*-propyl ester,<sub>1</sub>

*N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-(1,4-dioxo-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine ethyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-(1,4-dioxo-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine,<sub>1</sub>

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-acetylpiperazin-1-ylcarbonyloxy)phenylalanine<sub>1</sub>

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine<sub>1</sub>

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-phenylpiperazin-1-ylcarbonyloxy)phenylalanine<sub>1</sub>

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester<sub>1</sub>

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester<sub>1</sub>

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine (N<sup>N</sup>-*tert*-butoxycarbonyl-2-amino-2-methylpropyl) ester<sub>1</sub>

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-acetylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester<sub>1</sub>

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4<sup>N</sup>-hydroxypiperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester<sub>1</sub>

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2<sup>N</sup>-(morpholin-4N-yl)ethyl)carbamyloxy)phenylalanine *tert*-butyl ester<sub>1</sub>

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine *tert*-butyl ester<sub>1</sub>

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2<sup>N</sup>-hydroxyethyl)-N-methylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

N-(toluene-4-sulfonyl)-L-prolyl-4-(4N-(2-hydroxyethyl)piperazin-1-ylcarbonyloxy)-L-phenylalanine *tert*-butyl ester,<sub>1</sub>

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2<sup>N</sup>-formyloxyethyl)-N-methylcarbamyloxy)phenylalanine,<sub>1</sub>

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2N-hydroxyethyl)-N-methylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(methoxycarbonylmethyl)carbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-methoxypiperidin-1-ylcarbonyloxy)phenylalanine isopropyl ester,<sub>1</sub>

N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4N-methoxypiperidin-1-ylcarbonyloxy)phenylalanine,<sub>1</sub>

N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

N-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine  
*tert*-butyl ester,<sub>1</sub>

*N*-(morpholino-sulfonyl)-L-prolyl-L-(4-*N,N*-dimethylcarbamyloxy)phenylalanine  
*tert*-butyl ester,<sub>1</sub>

*N*-(morpholino-sulfonyl)-L-prolyl-L-(4-*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>2</sub>

*N*-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-  
dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-  
dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-  
dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-  
dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl-thiaprolyl-L-4-(*N,N*-  
dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-  
dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-  
dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-  
dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine<sub>1</sub>

*N*-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine<sub>1</sub>

*N*-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine<sub>1</sub>

*N*-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(1-oxo-thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(1-oxo-thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester<sub>1</sub>

*N*-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester<sub>1</sub>

*N*-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(*N,N*-dimethylcarbamyloxy)phenylalanine<sub>1</sub>

*N*-(3,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester<sub>1</sub>

*N*-(3,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine<sub>1</sub>



*N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-(thiomorpholin-4-ylcarbonyloxy)phenylalanine,<sub>2</sub>

*N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester,<sub>1</sub>

*N*-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(pyridine-2-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(pyridine-2-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>2</sub>

*N*-(pyridine-2-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(pyridine-2-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>2</sub>

*N*-(toluene-4-sulfonyl)-*L*-(thiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(3-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(2-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(3,4-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(3,5-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(2,4-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(4-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(3-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(2-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(3,4-dichlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(3,5-dichlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(3-chlorobenzenesulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(3-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(2-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(3,4-dimethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(2,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(3-chlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(3-chloro-4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(1-methylpyrazole-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(3,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiopropyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(3,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(2,5-dichlorothiophene-3-sulfonyl)-L-(5,5-dimethyl)thiapropyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiapropyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(8-quinolinesulfonyl)-L-propyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(8-quinolinesulfonyl)-L-propyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiapropyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiapropyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-propyl-L-4-(4-phenylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-propyl-L-4-(4*N*-(ethoxycarbonyl)piperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiapropyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(3-sulfonamido-4-chloro-benzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(1-oxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(2,4-difluorobenzenesulfonyl)-L-(1-oxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropyl ester,<sub>1</sub>

*N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropyl ester,<sub>1</sub>

*N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopropylmethyl ester,<sub>1</sub>

*N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine methyl ester,<sub>1</sub>

*N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester,<sub>1</sub>

*N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopropylmethyl ester,<sub>1</sub>

*N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-methoxyphenyl ester,<sub>1</sub>

*N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-butyl ester,<sub>1</sub>

*N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-propyl ester,<sub>1</sub>

*N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropionyloxymethyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-(4'-(2'-aminoethyl)morpholino)carbamyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[4-(carboxy)piperidin-1-ylcarbonyloxy]phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-bis-(2-hydroxyethyl)carbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine isopropyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-trifluoromethanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-(*N*-phenylurea)benzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(2-trifluoroacetyl-1,2,3,4-tetrahydroisoquinolin-7-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>2</sub>

*N*-(pyridine-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(pyridine-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>2</sub>

*N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester,<sub>2</sub>

*N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine,<sub>2</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine,<sub>2</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>2</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>



*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine,<sub>1</sub>

*N*-(1-*n*-butylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyridin-4-ylcarbonyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>2</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester,<sub>3</sub>

*N*-(1-methyl-1H-imidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>4</sub>

*N*-(toluene-4-sulfonyl)-L-4-(thiomorpholin-4-ylcarbonyloxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine,<sub>5</sub>

*N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester,<sub>6</sub>

*N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine methyl ester,<sub>7</sub>

*N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>8</sub>

*N*-(toluene-4-sulfonyl)-L-4-hydroxyprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine,<sub>9</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine,<sub>10</sub>

*N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine methyl ester,<sub>1</sub>

*N*-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbonyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-methyl-*N*-(2-(*N'*-methyl-*N'*-toluenesulfonylamino)ethyl)carbamyloxy]phenylalanine isopropyl ester,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-(2-(*N'*-phenylaminocarbonyloxy)ethyl)carbamyloxy)]phenylalanine isopropyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(pyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine,<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(2-methoxycarbonylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-(2-methoxyethoxy)ethyl ester<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-fluoro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester<sub>1</sub>

*N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester<sub>1</sub>

*N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine<sub>1</sub>

*N*-(toluene-4-sulfonyl)-L-(4-hydroxy)propyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine<sub>2</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine<sub>2</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester<sub>2</sub>

*N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine<sub>2</sub>

*N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester<sub>2</sub>

*N*-(toluene-4-sulfonyl)-L-(4-oxo)propyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine<sub>2</sub>

*N*-(toluene-4-sulfonyl)-L-(4-oxo)propyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine<sub>2</sub>

*N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine<sub>2</sub>

*N*-(4-nitrobenzenesulfonyl)-L-propyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester<sub>2</sub>

*N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester<sub>2</sub>

*N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine<sub>2</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(*N*-phenylthiocarbonyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester<sub>2</sub>

*N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester<sub>2</sub>

*N*-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester<sub>2</sub>

*N*-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine<sub>2</sub>

*N*-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine<sub>2</sub>

*N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine<sub>2</sub>

*N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine<sub>2</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine ethyl ester<sub>2</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine<sub>2</sub>

*N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine,<sub>2</sub>

*N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>2</sub>

*N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester,<sub>2</sub>

*N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>2</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine,<sub>2</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>2</sub>

*N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester,<sub>2</sub>

*N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester,<sub>2</sub>

*N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>2</sub>

*N*-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>2</sub>

*N*-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine,<sub>1</sub>

*N*-(4-fluorobenzenesulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine,<sub>1</sub>

*N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine,<sub>1</sub>

*N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine,<sub>1</sub>

*N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester,<sub>1</sub>



*N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine<sub>2</sub>

*N*-(1-methylimidazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine<sub>2</sub>

*N*-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine<sub>2</sub>

*N*-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester<sub>2</sub>

*N*-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester<sub>2</sub>

*N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester<sub>2</sub>

*N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester<sub>2</sub>

*N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-phenoxyethyl ester<sub>2</sub>

*N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine<sub>2</sub>

*N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester<sub>2</sub>

*N*-(3-chloro-1,5-dimethylpyrazole-3-sulfonyl)-L-(5,5-dimethylthiaprolyl-L-3-chloro-4-(4-(5-trifluoromethyl-2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine,

and pharmaceutically acceptable salts thereof.

23. (Original) The method according to any one of claims 5, 13, and 15, wherein the mammal is a human.

24. (Currently Amended) The method according to ~~any one of claims 5, 13, and 15~~ claim 5 or 13, wherein the human suffers from a condition which demyelinate cells, and wherein said condition is multiple sclerosis, a congenital metabolic disorder, a neuropathy with abnormal myelination, drug induced demyelination, radiation induced demyelination, a hereditary demyelinating condition, a prion induced demyelinating condition, encephalitis induced demyelination, or a spinal cord injury.

25. (Original) The method according to claim 24, wherein the human suffers from multiple sclerosis.

26. (Currently Amended) The method according to ~~any one of claims 5, 13, and 15~~ claim 5 or 13, wherein the compound is administered parenterally.

27. (Currently Amended) The method according to ~~any one of claims 5, 13, and 15~~ claim 5 or 13, wherein the compound is administered chronically to the mammal in need thereof.

28. (Original) The method according to claim 27, wherein the chronic administration of the compound is weekly or monthly over a period of at least one year.

29. (Currently Amended) The method according to ~~any one of claims 5, 13, and 15~~ claim 5 or 13, wherein an anti-inflammatory agent is co-administered with the compound to the mammal.

30. (Original) The method according to claim 29, wherein an anti-inflammatory agent is co-administered with the compound to the mammal.

31. (Original) The method according to claim 30, wherein the anti-inflammatory agent is adrenocorticotrophic hormone, a corticosteroid, an interferon, glatiramer acetate, or a non-steroidal anti-inflammatory drug.

32. (Cancelled)

33. (Original) The method according to claim 31, wherein the corticosteroid is prednisone, methylprednisolone, dexamethasone, cortisol, cortisone, fludrocortisone, prednisolone, 6 $\alpha$ -methylprednisolone, triamcinolone, or betamethasone.

34. (Original) The method according to claim 33, wherein the corticosteroid is prednisone.

35. (Cancelled)

36. (Currently Amended) The method according to ~~any one of claims 5, 13, and 15~~ claim 5 or 13, wherein the compound is administered intravenously or subcutaneously.

37. (Original) The method according to claim 36, wherein the compound is administered intravenously to a mammal, and wherein the administration results in an effective blood level of the compound in the mammal of  $\geq 10$  ng/ml.

38. (Original) The method according to claim 36, wherein the compound is administered intravenously in an amount of 20  $\mu$ g to about 500  $\mu$ g per kilogram body weight of the mammal.

39-91. (Cancelled)